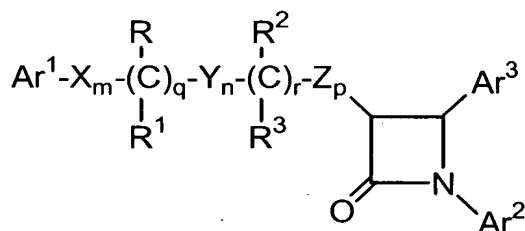


THEREFORE, WE CLAIM:

1. A method of treating or preventing an autoimmune disorder in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

2. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



(I),

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

$\text{Ar}^1$  and  $\text{Ar}^2$  are independently selected from the group consisting of aryl and  $\text{R}^4$ -substituted aryl;

$\text{Ar}^3$  is aryl or  $\text{R}^5$ -substituted aryl;

X, Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{lower alkyl})-$  and  $-\text{C}(\text{dilower alkyl})-$ ;

R and  $\text{R}^2$  are independently selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$  and  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ;

$R^1$  and  $R^3$  are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

5 m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

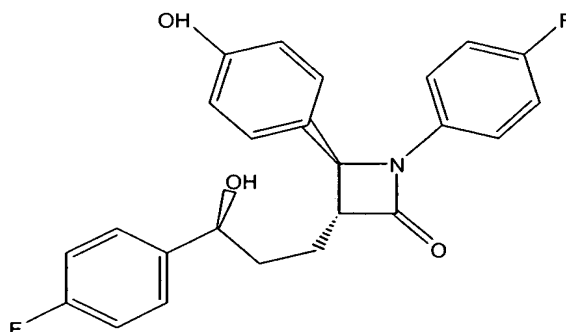
$R^4$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  
10  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  
 $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}COOR^6$ ,  
 $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(lower\ alkylene)COOR^6$ ,  $-CH=CH-COOR^6$ ,  $-CF_3$ ,  $-CN$ ,  
 $-NO_2$  and halogen;

$R^5$  is 1-5 substituents independently selected from the group consisting of  
15  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  
 $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  
 $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  
 $-(lower\ alkylene)COOR^6$  and  $-CH=CH-COOR^6$ ;

$R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen,  
20 lower alkyl, aryl and aryl-substituted lower alkyl; and

$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl.

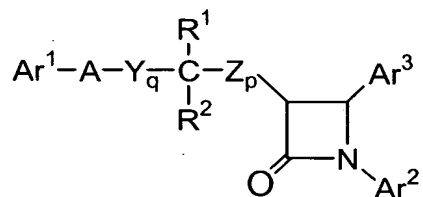
3. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (II):



(II)

or a pharmaceutically acceptable salt or solvate thereof.

4. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

$Ar^1$  is  $R^3$ -substituted aryl;

$Ar^2$  is  $R^4$ -substituted aryl;

$Ar^3$  is  $R^5$ -substituted aryl;

Y and Z are independently selected from the group consisting of  $-CH_2-$ ,  $-CH(\text{lower alkyl})-$  and  $-C(\text{dilower alkyl})-$ ;

A is selected from  $-O-$ ,  $-S-$ ,  $-S(O)-$  or  $-S(O)_2-$ ;

$R^1$  is selected from the group consisting of  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$  and  $-O(CO)NR^6R^7$ ;  $R^2$  is selected from the group consisting of hydrogen, lower alkyl and aryl; or  $R^1$  and  $R^2$  together are  $=O$ ;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

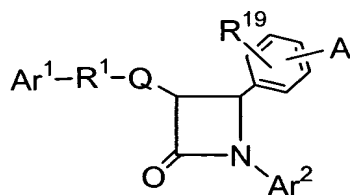
$R^5$  is 1-3 substituents independently selected from the group consisting of  
 $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^9$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  
 $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2$ -lower alkyl,  $-NR^6SO_2$ -aryl,  $-CONR^6R^7$ ,  $-$   
 $COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}$ -alkyl,  $S(O)_{0-2}$ -aryl,  $-O(CH_2)_{1-10}COOR^6$ ,  $-O(CH_2)_1$   
 $CONR^6R^7$ , o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl,  $-(lower\ alkylene)-$   
 $COOR^6$ , and  
 $-CH=CH-COOR^6$ ;

$R^3$  and  $R^4$  are independently 1-3 substituents independently selected from the  
group consisting of  $R^5$ , hydrogen, p-lower alkyl, aryl,  $-NO_2$ ,  $-CF_3$  and p-halogeno;

$R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen,  
lower alkyl, aryl and aryl-substituted lower alkyl; and

$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl.

5. The method according to claim 1, wherein the at least one sterol  
absorption inhibitor is represented by Formula (IV):



(IV)

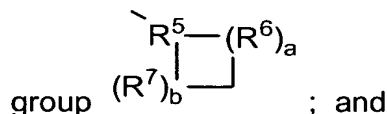
or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula  
(IV) above:

A is selected from the group consisting of  $R^2$ -substituted heterocycloalkyl,  $R^2$ -  
substituted heteroaryl,  $R^2$ -substituted benzofused heterocycloalkyl, and  $R^2$ -substituted  
benzofused heteroaryl;

Ar<sup>1</sup> is aryl or R<sup>3</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the spiro



R<sup>1</sup> is selected from the group consisting of:

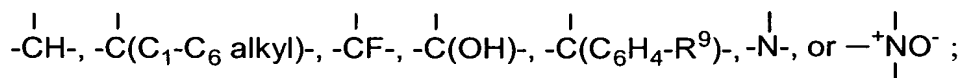
-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH<sub>2</sub>)<sub>e</sub>-G-(CH<sub>2</sub>)<sub>r</sub>-, wherein G is -O-, -C(O)-, phenylene, -NR<sup>8</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-; and

-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

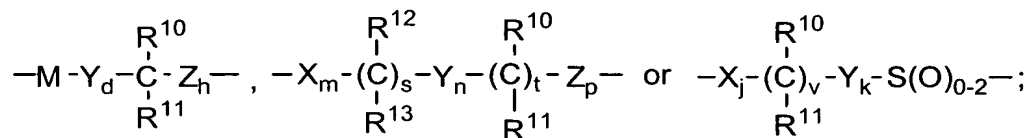
R<sup>5</sup> is selected from:



R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl), -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or R<sup>5</sup> together with an adjacent R<sup>6</sup>, or R<sup>5</sup> together with an adjacent R<sup>7</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sup>6</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1; provided that when R<sup>7</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R<sup>6</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>7</sup>'s can be the same or different;

and when Q is a bond, R<sup>1</sup> also can be selected from:



where M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

X, Y and Z are independently selected from the group consisting of

5 -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)- and -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl);

R<sup>10</sup> and R<sup>12</sup> are independently selected from the group consisting of  
-OR<sup>14</sup>, -O(CO)R<sup>14</sup>, -O(CO)OR<sup>16</sup> and -O(CO)NR<sup>14</sup>R<sup>15</sup>;

R<sup>11</sup> and R<sup>13</sup> are independently selected from the group consisting of hydrogen,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>10</sup> and R<sup>11</sup> together are =O, or R<sup>12</sup> and R<sup>13</sup> together are =O;

10 d is 1, 2 or 3;

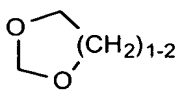
h is 0, 1, 2, 3 or 4;

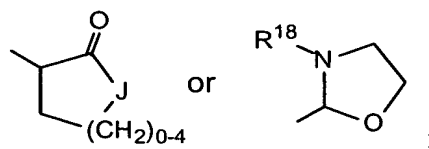
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least  
one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and  
t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of  
15 m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R<sup>2</sup> is 1-3 substituents on the ring carbon atoms selected from the group  
consisting of hydrogen, (C<sub>1</sub>-C<sub>10</sub>)alkyl, (C<sub>2</sub>-C<sub>10</sub>)alkenyl, (C<sub>2</sub>-C<sub>10</sub>)alkynyl,  
20 (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkenyl, R<sup>17</sup>-substituted aryl, R<sup>17</sup>-substituted benzyl,  
R<sup>17</sup>-substituted benzyloxy, R<sup>17</sup>-substituted aryloxy, halogeno, -NR<sup>14</sup>R<sup>15</sup>,  
NR<sup>14</sup>R<sup>15</sup>(C<sub>1</sub>-C<sub>6</sub> alkylene)-, NR<sup>14</sup>R<sup>15</sup>C(O)(C<sub>1</sub>-C<sub>6</sub> alkylene)-, -NHC(O)R<sup>16</sup>,  
OH, C<sub>1</sub>-C<sub>6</sub> alkoxy, -OC(O)R<sup>16</sup>, -COR<sup>14</sup>, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
NO<sub>2</sub>, -S(O)<sub>0-2</sub>R<sup>16</sup>, -SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup> and -(C<sub>1</sub>-C<sub>6</sub> alkylene)COOR<sup>14</sup>; when R<sup>2</sup> is a substituent

on a heterocycloalkyl ring,  $R^2$  is as defined, or is =O or ; and, where  $R^2$  is a substituent on a substitutable ring nitrogen, it is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, aryloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH<sub>2</sub>)<sub>1-6</sub>CONR<sup>18</sup>R<sup>18</sup>,



wherein J is -O-, -NH-, -NR<sup>18</sup>- or -CH<sub>2</sub>-;

$R^3$  and  $R^4$  are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>14</sup>, -O(CO)R<sup>14</sup>, -O(CO)OR<sup>16</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>14</sup>, -O(CO)NR<sup>14</sup>R<sup>15</sup>, -NR<sup>14</sup>R<sup>15</sup>, -NR<sup>14</sup>(CO)R<sup>15</sup>, -NR<sup>14</sup>(CO)OR<sup>16</sup>, -NR<sup>14</sup>(CO)NR<sup>15</sup>R<sup>19</sup>, -NR<sup>14</sup>SO<sub>2</sub>R<sup>16</sup>, -COOR<sup>14</sup>, -CONR<sup>14</sup>R<sup>15</sup>, -COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>, S(O)<sub>0-2</sub>R<sup>16</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>14</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>14</sup>R<sup>15</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>14</sup>, -CH=CH-COOR<sup>14</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

$R^8$  is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>14</sup> or -COOR<sup>14</sup>;

15  $R^9$  and  $R^{17}$  are independently 1-3 groups independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>14</sup>R<sup>15</sup>, OH and halogeno;

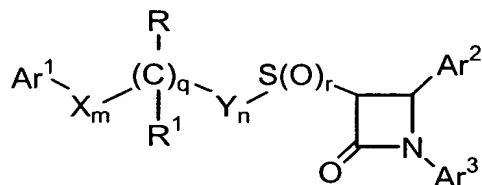
$R^{14}$  and  $R^{15}$  are independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

20  $R^{16}$  is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>17</sup>-substituted aryl;

$R^{18}$  is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl; and

$R^{19}$  is hydrogen, hydroxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

6. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (V):



(V)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar<sup>1</sup> is aryl, R<sup>10</sup>-substituted aryl or heteroaryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

X and Y are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> or -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>1</sup> is hydrogen, lower alkyl or aryl; or R and R<sup>1</sup> together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R<sup>4</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>,



$-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ ,  $-(\text{lower alkylene})\text{COOR}^6$  and  $-\text{CH}=\text{CH}-\text{COOR}^6$ ;

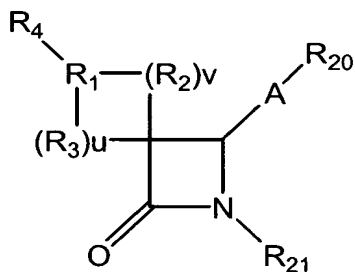
$\text{R}^5$  is 1-5 substituents independently selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{OR}^9$ ,  $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$ ,  $-\text{NR}^6\text{SO}_2\text{R}^9$ ,  $-\text{COOR}^6$ ,  $-\text{CONR}^6\text{R}^7$ ,  $-\text{COR}^6$ ,  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,  $\text{S}(\text{O})_{0-2}\text{R}^9$ ,  $-\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ , halogen,  $-(\text{lower alkylene})\text{COOR}^6$  and  $-\text{CH}=\text{CH}-\text{COOR}^6$ ;

$\text{R}^6$ ,  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

$\text{R}^9$  is lower alkyl, aryl or aryl-substituted lower alkyl; and

$\text{R}^{10}$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{OR}^9$ ,  $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$ ,  $-\text{NR}^6\text{SO}_2\text{R}^9$ ,  $-\text{COOR}^6$ ,  $-\text{CONR}^6\text{R}^7$ ,  $-\text{COR}^6$ ,  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,  $-\text{S}(\text{O})_{0-2}\text{R}^9$ ,  $-\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$  and halogen.

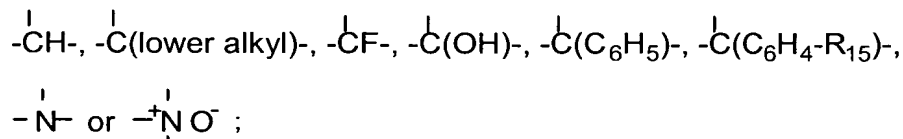
7. The method according to claim 1, where the at least one sterol absorption inhibitor is represented by Formula (VI):



(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

$\text{R}_1$  is



R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of:

-CH<sub>2</sub>-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or

5 R<sub>1</sub> together with an adjacent R<sub>2</sub>, or R<sub>1</sub> together with an adjacent R<sub>3</sub>, form a

-CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sub>2</sub> is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R<sub>3</sub> is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R<sub>2</sub>'s can be  
10 the same or different; and provided that when u is 2 or 3, the R<sub>3</sub>'s can be the same or different;

R<sub>4</sub> is selected from B-(CH<sub>2</sub>)<sub>m</sub>C(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

15 B-(CH<sub>2</sub>)<sub>e</sub>-Z-(CH<sub>2</sub>)<sub>r</sub>-, wherein Z is -O-, -C(O)-, phenylene, -N(R<sub>8</sub>)- or -S(O)<sub>0-2</sub>-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-;

B-(C<sub>4</sub>-C<sub>6</sub> alkadienylene)-;

20 B-(CH<sub>2</sub>)<sub>t</sub>-Z-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

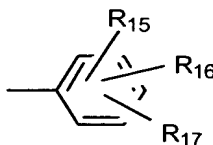
B-(CH<sub>2</sub>)<sub>t</sub>-V-(C<sub>2</sub>-C<sub>6</sub> alkenylene)- or

25 B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-V-(CH<sub>2</sub>)<sub>t</sub>-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

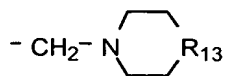
B-(CH<sub>2</sub>)<sub>a</sub>-Z-(CH<sub>2</sub>)<sub>b</sub>-V-(CH<sub>2</sub>)<sub>d</sub>-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH<sub>2</sub>)<sub>s</sub>-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R<sub>1</sub> and R<sub>4</sub> together form the group  $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$  ;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, benzyl, R<sub>7</sub>-benzyl, benzyloxy, R<sub>7</sub>-benzyloxy, phenoxy, R<sub>7</sub>-phenoxy, dioxolanyl, NO<sub>2</sub>-, N(R<sub>8</sub>)(R<sub>9</sub>), N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, OH, halogeno, -CN, -N<sub>3</sub>, -NHC(O)OR<sub>10</sub>, -NHC(O)R<sub>10</sub>, R<sub>11</sub>O<sub>2</sub>SNH-, (R<sub>11</sub>O<sub>2</sub>S)<sub>2</sub>N-, -S(O)<sub>2</sub>NH<sub>2</sub>, -S(O)<sub>0-2</sub>R<sub>8</sub>, tert-butyldimethylsilyloxymethyl, -C(O)R<sub>12</sub>, -COOR<sub>19</sub>, -CON(R<sub>8</sub>)(R<sub>9</sub>), -CH=CHC(O)R<sub>12</sub>, -lower alkylene-C(O)R<sub>12</sub>, R<sub>10</sub>C(O)(lower alkyleneoxy)-, N(R<sub>8</sub>)(R<sub>9</sub>)C(O)(lower alkyleneoxy)- and



for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR<sub>10</sub>, -C(O)R<sub>10</sub>, OH, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, -S(O)<sub>2</sub>NH<sub>2</sub> and 2-(trimethylsilyl)-ethoxymethyl;

R7 is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), OH, and halogeno;

R<sub>8</sub> and R<sub>9</sub> are independently selected from H or lower alkyl;

R<sub>10</sub> is selected from lower alkyl, phenyl, R<sub>7</sub>-phenyl, benzyl or R<sub>7</sub>-benzyl;

R<sub>11</sub> is selected from OH, lower alkyl, phenyl, benzyl, R<sub>7</sub>-phenyl or R<sub>7</sub>-benzyl;

R<sub>12</sub> is selected from H, OH, alkoxy, phenoxy, benzyloxy,

, -N(R<sub>8</sub>)(R<sub>9</sub>), lower alkyl, phenyl or R<sub>7</sub>-phenyl;

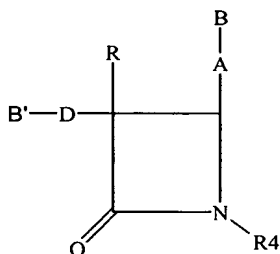
R<sub>13</sub> is selected from -O-, -CH<sub>2</sub>-, -NH-, -N(lower alkyl)- or -NC(O)R<sub>19</sub>;

R<sub>15</sub>, R<sub>16</sub> and R<sub>17</sub> are independently selected from the group consisting of H and the groups defined for W; or R<sub>15</sub> is hydrogen and R<sub>16</sub> and R<sub>17</sub>, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R<sub>19</sub> is H, lower alkyl, phenyl or phenyl lower alkyl; and

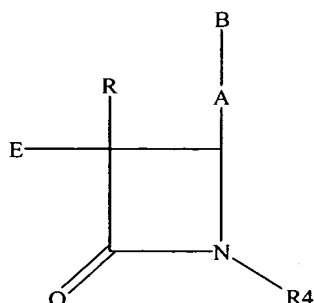
R<sub>20</sub> and R<sub>21</sub> are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

8. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIIA) or (VIIB):



(VIIA)

or

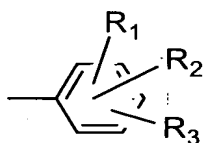


(VIIB)

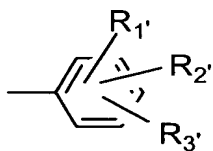
or a pharmaceutically acceptable salt or solvate thereof,  
wherein:

5 A is  $-\text{CH}=\text{CH}-$ ,  $-\text{C}\equiv\text{C}-$  or  $-(\text{CH}_2)_p-$  wherein p is 0, 1 or 2;

B is



B' is



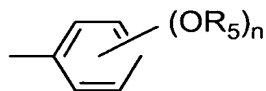
10 D is  $-(\text{CH}_2)_m\text{C}(\text{O})-$  or  $-(\text{CH}_2)_q-$  wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is  $\text{C}_{10}$  to  $\text{C}_{20}$  alkyl or  $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$ , wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen,  $\text{C}_1\text{-C}_{15}$  alkyl, straight or branched, saturated or containing one or more double bonds, or  $\text{B}-(\text{CH}_2)_r-$ , wherein r is 0, 1, 2, or 3;

15  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_1'$ ,  $\text{R}_2'$ , and  $\text{R}_3'$  are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy,  $\text{NO}_2$ ,  $\text{NH}_2$ , OH, halogeno, lower alkylamino, dilower alkylamino,  $-\text{NHC}(\text{O})\text{OR}_5$ ,  $\text{R}_6\text{O}_2\text{SNH}-$  and  $-\text{S}(\text{O})_2\text{NH}_2$ ;

$\text{R}_4$  is



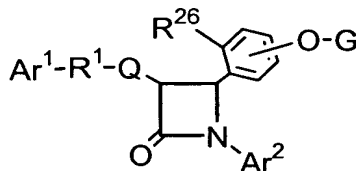
wherein n is 0, 1, 2 or 3;

$R_5$  is lower alkyl; and

$R_6$  is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy,  $NO_2$ ,  $NH_2$ , OH, halogeno, lower alkylamino and dialkylamino;

or a pharmaceutically acceptable salt thereof or a prodrug thereof.

9. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIII):

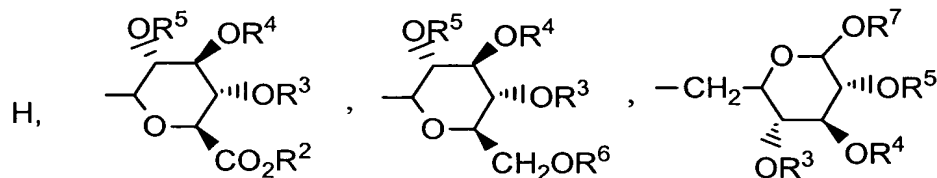


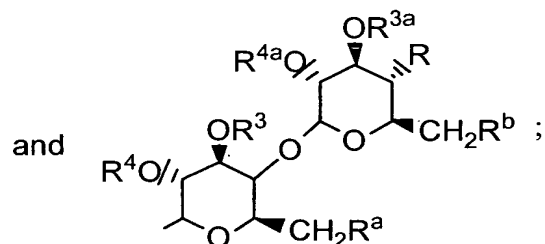
(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

$R^{26}$  is H or  $OG^1$ ;

G and  $G^1$  are independently selected from the group consisting of





provided that when R<sup>26</sup> is H or

OH, G is not H;

R, R<sup>a</sup> and R<sup>b</sup> are independently selected from the group consisting of H, -OH, halogeno, -NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy or -W-R<sup>30</sup>;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R<sup>31</sup>)-, -NH-C(O)-N(R<sup>31</sup>)- and -O-C(S)-N(R<sup>31</sup>)-;

R<sup>2</sup> and R<sup>6</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>7</sup>, R<sup>3a</sup> and R<sup>4a</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

R<sup>30</sup> is selected from the group consisting of R<sup>32</sup>-substituted T, R<sup>32</sup>-substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl, R<sup>32</sup>-substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>31</sup> is selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl,

(C<sub>1</sub>-C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyll or morpholinyll group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyll or morpholinyll group;

Ar<sup>1</sup> is aryl or R<sup>10</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>11</sup>-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

10 forms the spiro group  $\begin{array}{c} \text{R}^{12} \text{---} (\text{R}^{13})_a \\ | \\ (\text{R}^{14})_b \end{array}$ ; and

R<sup>1</sup> is selected from the group consisting of

-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

15 -(CH<sub>2</sub>)<sub>e</sub>-E-(CH<sub>2</sub>)<sub>r</sub>-, wherein E is -O-, -C(O)-, phenylene, -NR<sup>22</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub>)alkenylene-; and

-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

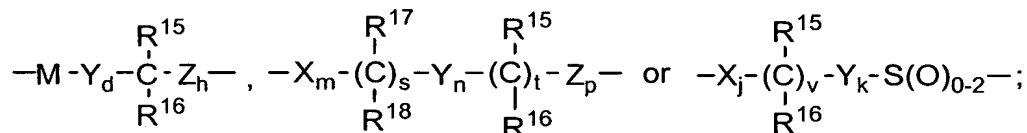
R<sup>12</sup> is

20  $\begin{array}{c} | \\ \text{---CH---} \end{array}$ ,  $\begin{array}{c} | \\ \text{---C(C}_1\text{-C}_6\text{ alkyl)---} \end{array}$ ,  $\begin{array}{c} | \\ \text{---CF---} \end{array}$ ,  $\begin{array}{c} | \\ \text{---C(OH)---} \end{array}$ ,  $\begin{array}{c} | \\ \text{---C(C}_6\text{H}_4\text{-R}^{23}\text{)---} \end{array}$ ,  $\begin{array}{c} | \\ \text{---N---} \end{array}$ , or  $\begin{array}{c} | \\ \text{---}^+\text{NO}^- \end{array}$ ;

R<sup>13</sup> and R<sup>14</sup> are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl)-, -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;



a and b are independently 0, 1, 2 or 3, provided both are not zero;  
 provided that when R<sup>13</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1;  
 provided that when R<sup>14</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1;  
 provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and  
 provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;  
 and when Q is a bond, R<sup>1</sup> also can be:



M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-,  
 -CH(C<sub>1</sub>-C<sub>6</sub>)alkyl- and -C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl);

R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of 1-3  
 substituents independently selected from the group consisting of  
 (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>19</sup>,  
 -O(CO)NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>(CO)R<sup>20</sup>, -NR<sup>19</sup>(CO)OR<sup>21</sup>,  
 -NR<sup>19</sup>(CO)NR<sup>20</sup>R<sup>25</sup>, -NR<sup>19</sup>SO<sub>2</sub>R<sup>21</sup>, -COOR<sup>19</sup>, -CONR<sup>19</sup>R<sup>20</sup>, -COR<sup>19</sup>,  
 -SO<sub>2</sub>NR<sup>19</sup>R<sup>20</sup>, S(O)<sub>0-2</sub>R<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>19</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>19</sup>R<sup>20</sup>,  
 (C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>19</sup>, -CH=CH-COOR<sup>19</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

R<sup>15</sup> and R<sup>17</sup> are independently selected from the group consisting of -OR<sup>19</sup>,  
 -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup> and -O(CO)NR<sup>19</sup>R<sup>20</sup>;

R<sup>16</sup> and R<sup>18</sup> are independently selected from the group consisting of H,  
 (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>15</sup> and R<sup>16</sup> together are =O, or R<sup>17</sup> and R<sup>18</sup> together are  
 =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;  
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;  
provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided  
that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R<sup>1</sup> is 
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j-(\text{C})_v-\text{Y}_k-\text{S}(\text{O})_{0-2}- \\ | \\ \text{R}^{16} \end{array}$$
, Ar<sup>1</sup> can also be  
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,  
pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of H,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

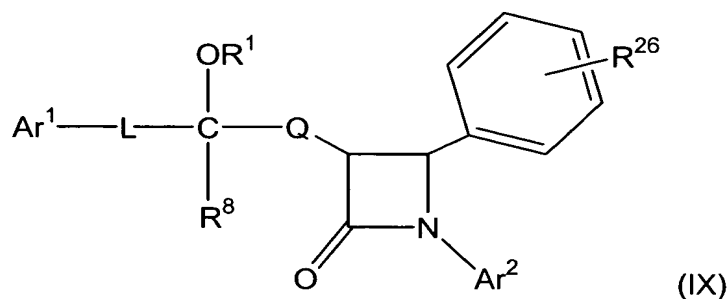
R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the  
group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>,  
-NR<sup>19</sup>R<sup>20</sup>, -OH and halogeno; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

10. The method according to claim 1, wherein the at least one sterol  
absorption inhibitor is represented by Formula (IX):

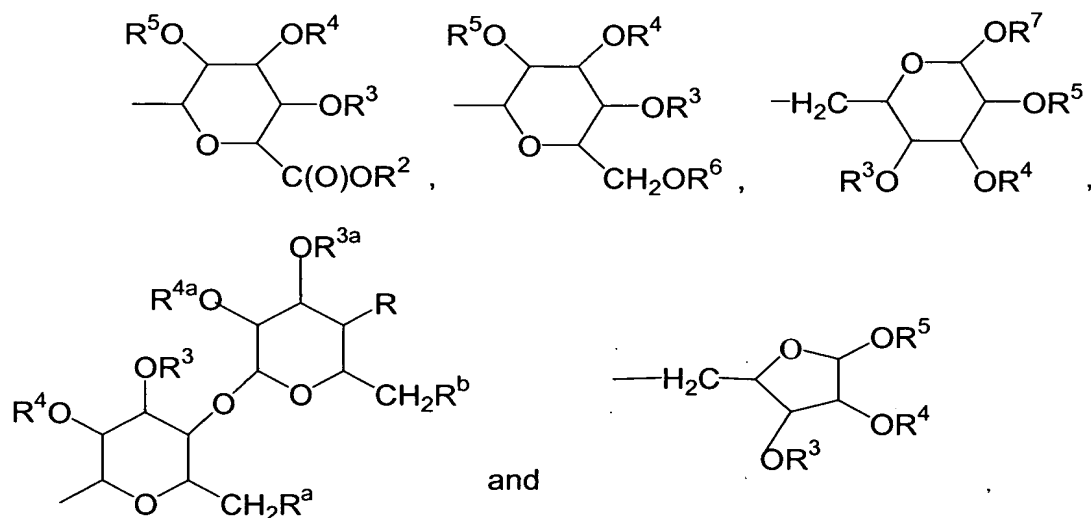


or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

$R^1$  is selected from the group consisting of H, G,  $G^1$ ,  $G^2$ ,  $-SO_3H$  and  $-PO_3H$ ;

5

G is selected from the group consisting of: H,



wherein R,  $R^a$  and  $R^b$  are each independently selected from the group  
 10 consisting of H, -OH, halo,  $-NH_2$ , azido, (C1-C6)alkoxy(C1-C6)alkoxy or  $-W-R^{30}$ ;

W is independently selected from the group consisting of  
 $-NH-C(O)-$ ,  $-O-C(O)-$ ,  $-O-C(O)-N(R^{31})-$ ,  $-NH-C(O)-N(R^{31})-$  and  
 $-O-C(S)-N(R^{31})-$ ;

15

$R^2$  and  $R^6$  are each independently selected from the group consisting of H,  
 (C1-C6)alkyl, acetyl, aryl and aryl(C1-C6)alkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>7</sup>, R<sup>3a</sup> and R<sup>4a</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, acetyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

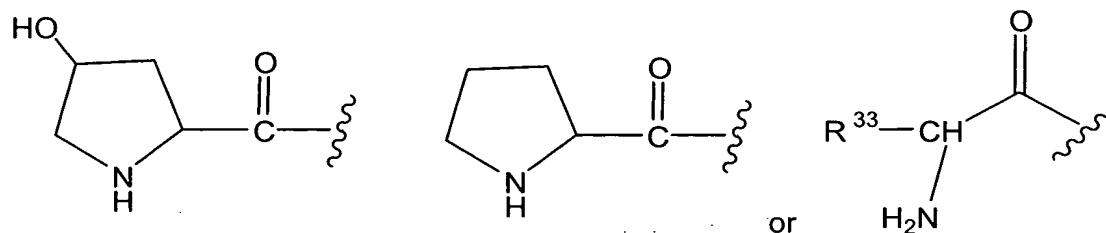
R<sup>30</sup> is independently selected from the group consisting of  
5 R<sup>32</sup>-substituted T, R<sup>32</sup>-substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl, R<sup>32</sup>-substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>31</sup> is independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

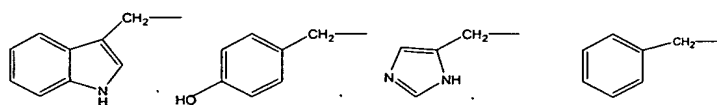
10 T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

15 R<sup>32</sup> is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup> is  
20 a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G<sup>1</sup> is represented by the structure:



wherein  $R^{33}$  is independently selected from the group consisting of unsubstituted alkyl,  $R^{34}$ -substituted alkyl,  $(R^{35})(R^{36})$ alkyl-,

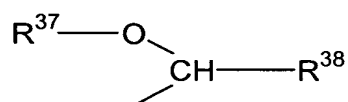


5  $R^{34}$  is one to three substituents, each  $R^{34}$  being independently selected from the group consisting of  $\text{HOOC-}$ ,  $\text{HO-}$ ,  $\text{HS-}$ ,  $(\text{CH}_3)\text{S-}$ ,  $\text{H}_2\text{N-}$ ,  $(\text{NH}_2)(\text{NH})\text{C}(\text{NH})-$ ,  $(\text{NH}_2)\text{C}(\text{O})-$  and  $\text{HOOCCH}(\text{NH}_2^+)\text{CH}_2\text{SS-}$ ;

$R^{35}$  is independently selected from the group consisting of H and  $\text{NH}_2-$ ;

10  $R^{36}$  is independently selected from the group consisting of H, unsubstituted alkyl,  $R^{34}$ -substituted alkyl, unsubstituted cycloalkyl and  $R^{34}$ -substituted cycloalkyl;

$G^2$  is represented by the structure:



15 wherein  $R^{37}$  and  $R^{38}$  are each independently selected from the group consisting of  $(\text{C}_1-\text{C}_6)$ alkyl and aryl;

$R^{26}$  is one to five substituents, each  $R^{26}$  being independently selected from the group consisting of:

- 20 a) H;  
b)  $-\text{OH}$ ;  
c)  $-\text{OCH}_3$ ;

- d) fluorine;
- e) chlorine;
- f)  $-O-G$ ;
- g)  $-O-G^1$ ;
- 5 h)  $-O-G^2$ ;
- i)  $-SO_3H$ ; and
- j)  $-PO_3H$ ;

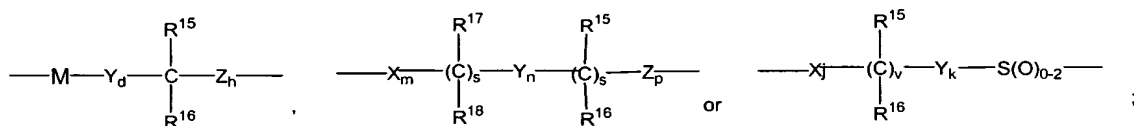
provided that when  $R^1$  is H,  $R^{26}$  is not H,  $-OH$ ,  $-OCH_3$  or  $-O-G$ ;

10  $Ar^1$  is aryl,  $R^{10}$ -substituted aryl, heteroaryl or  $R^{10}$ -substituted heteroaryl;

$Ar^2$  is aryl,  $R^{11}$ -substituted aryl, heteroaryl or  $R^{11}$ -substituted heteroaryl;

L is selected from the group consisting of:

- 15 a) a covalent bond;
- b)  $-(CH_2)_q-$ , wherein q is 1-6;
- c)  $-(CH_2)_e-E-(CH_2)_r-$ , wherein E is  $-O-$ ,  $-C(O)-$ , phenylene,  $-NR^{22}-$  or  $-S(O)_{0-2}-$ , e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d)  $-(C_2-C_6)alkenylene-$ ;
- 20 e)  $-(CH_2)_f-V-(CH_2)_g-$ , wherein V is  $C_3-C_6$ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)



25 wherein M is  $-O-$ ,  $-S-$ ,  $-S(O)-$  or  $-S(O)_2-$ ;

X, Y and Z are each independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{C}_1\text{-C}_6\text{alkyl})-$  and  $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6\text{alkyl})-);$

$\text{R}^8$  is selected from the group consisting of H and alkyl;

5

$\text{R}^{10}$  and  $\text{R}^{11}$  are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of  $(\text{C}_1\text{-C}_6\text{alkyl})$ ,  $-\text{OR}^{19}$ ,  $-\text{O}(\text{CO})\text{R}^{19}$ ,  $-\text{O}(\text{CO})\text{OR}^{21}$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^{19}$ ,  $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$ ,  $-\text{NR}^{19}\text{R}^{20}$ ,  $-\text{NR}^{19}(\text{CO})\text{R}^{20}$ ,  $-\text{NR}^{19}(\text{CO})\text{OR}^{21}$ ,  
10  $-\text{NR}^{19}(\text{CO})\text{NR}^{20}\text{R}^{25}$ ,  $-\text{NR}^{19}\text{SO}_2\text{R}^{21}$ ,  $-\text{COOR}^{19}$ ,  $-\text{CONR}^{19}\text{R}^{20}$ ,  $-\text{COR}^{19}$ ,  $-\text{SO}_2\text{NR}^{19}\text{R}^{20}$ ,  $\text{S}(\text{O})_{0-2}\text{R}^{21}$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^{19}$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^{19}\text{R}^{20}$ ,  $-(\text{C}_1\text{-C}_6\text{ alkylene})\text{-COOR}^{19}$ ,  $-\text{CH}=\text{CH}\text{-COOR}^{19}$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$  and halo;

$\text{R}^{15}$  and  $\text{R}^{17}$  are each independently selected from the group consisting of  
15  $-\text{OR}^{19}$ ,  $-\text{OC}(\text{O})\text{R}^{19}$ ,  $-\text{OC}(\text{O})\text{OR}^{21}$ ,  $-\text{OC}(\text{O})\text{NR}^{19}\text{R}^{20}$ ;

$\text{R}^{16}$  and  $\text{R}^{18}$  are each independently selected from the group consisting of H,  $(\text{C}_1\text{-C}_6\text{alkyl})$  and aryl;

20 or  $\text{R}^{15}$  and  $\text{R}^{16}$  together are  $=\text{O}$ , or  $\text{R}^{17}$  and  $\text{R}^{18}$  together are  $=\text{O}$ ;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

25 t is 0 or 1;

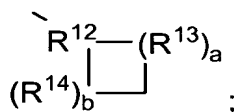
m, n and p are each independently selected from 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;  
provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that  
when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

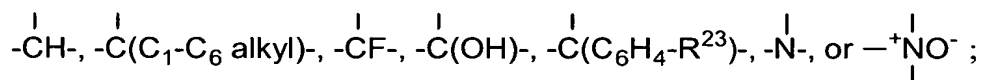
5 j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond,  $-(CH_2)_q-$ , wherein q is 1-6, or, with the 3-position ring carbon of the  
azetidinone, forms the spiro group



10

wherein  $R^{12}$  is

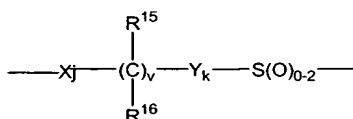


15  $R^{13}$  and  $R^{14}$  are each independently selected from the group consisting of  
-CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl)-, -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or  
 $R^{12}$  together with an adjacent  $R^{13}$ , or  $R^{12}$  together with an adjacent  $R^{14}$ , form a -  
CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

20 a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided  
that when  $R^{13}$  is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1; provided that when  $R^{14}$  is -  
CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the  $R^{13}$ 's can  
be the same or different; and provided that when b is 2 or 3, the  $R^{14}$ 's can be the same  
or different;

25 and when Q is a bond and L is





then Ar<sup>1</sup> can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

R<sup>23</sup> and R<sup>24</sup> are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>19</sup>R<sup>20</sup>, -OH and halo; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

11. The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

12. The method according to claim 1, further comprising the step of administering at least one other agent useful for the treatment of an autoimmune disorder to the subject.

13. The method according to claim 12, wherein the other agent useful for the treatment of an autoimmune disorder is selected from the group consisting of:

- a) disease modifying antirheumatic drugs;
- 5 b) nonsteroidal anitnflammatory drugs;
- c) COX-2 selective inhibitors;
- d) COX-1 inhibitors;
- e) immunosuppressives; p70<sup>S6</sup> kinase inhibitors; and inosine monophosphate dehydrogenase inhibitors;
- 10 f) steroids;
- g) biological response modifiers; and
- h) other agents useful for the treatment of autoimmune disorders.

14. The method according to claim 1, further comprising the step of  
15 administering at least one HMG CoA reductase inhibitor to the subject.

15. The method according to claim 14, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

20 16. The method according to claim 14, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

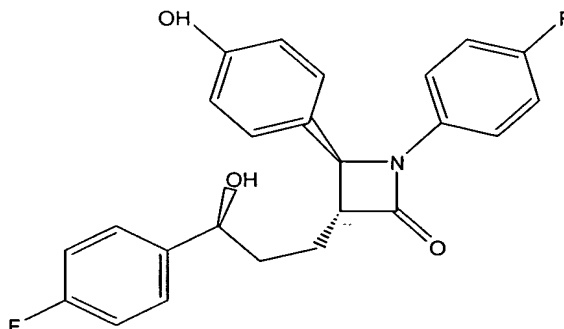
17. The method according to claim 1, wherein the subject has an autoimmune disorder selected from the group consisting of: Alopecia Areata, Ankylosing Spondylitis,  
25 Antiphospholipid Syndrome, Autoimmune Addison's Disease, Autoimmune Diabetes, Autoimmune Hemolytic Anemia, Autoimmune Hepatitis, Behcet's Disease, Bullous Pemphigoid, Cardiomyopathy, Celiac Sprue-Dermatitis, Chronic Fatigue Immune Dysfunction Syndrome (CFIDS), Chronic Inflammatory Demyelinating Polyneuropathy, Churg-Strauss Syndrome, Cicatricial Pemphigoid, CREST Syndrome, Cold Agglutinin  
30 Disease, Crohn's Disease, Discoid Lupus, Essential Mixed Cryoglobulinemia,

Fibromyalgia-Fibromyositis, Good Pasture Syndrome, Graft Versus Host Disease, Graves' Disease, Guillain-Barré, Hashimoto's Thyroiditis, Idiopathic Pulmonary Fibrosis, Idiopathic Thrombocytopenia Purpura (ITP), IgA Nephropathy, Insulin Dependent Diabetes, Juvenile Arthritis, Lichen Planus, Lupus , Ménière's Disease, Mixed  
5 Connective Tissue Disease, Multiple Sclerosis, Myasthenia Gravis, Myositis, Pemphigus Vulgaris, Pernicious Anemia, Polyarteritis Nodosa, Polychondritis, Polyglandular Syndromes, Polymyalgia Rheumatica, Polymyositis and Dermatomyositis, Primary Agammaglobulinemia, Primary Biliary Cirrhosis, Psoriasis, Raynaud's Phenomenon, Reiter's Syndrome, Rheumatic Fever, Rheumatoid Arthritis,  
10 Sarcoidosis, Scleroderma, Sjögren's Syndrome, Stiff-Man Syndrome, Takayasu Arteritis, Temporal Arteritis/Giant Cell Arteritis, Ulcerative Colitis, Uveitis, Vasculitis, Vitiligo, and Wegener's Granulomatosis.

18. The method according to claim 1, wherein said sterol absorption inhibitor  
15 disrupts lipid raft formation and/or organization within the cell membranes of leukocytes.

19. The method according to claim 18, wherein said lipid raft disruption affects the pathogenesis of said autoimmune disorder by affecting at least one immune response selected from the group consisting of antigen presentation, T-cell activation,  
20 T-cell receptor signaling, adhesion molecule function, chemokine receptor signaling, and combinations thereof.

20. A method of treating or preventing an autoimmune disorder in a subject is provided, comprising the step of administering to a subject in need of such treatment an  
25 effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:



(II)

or a pharmaceutically acceptable salt or solvate thereof.

21. The method according to claim 20, wherein the subject has an autoimmune disorder selected from the group consisting of: Alopecia Areata, Ankylosing Spondylitis, Antiphospholipid Syndrome, aplastic anemia, myelodysplastic syndromes, paroxysmal nocturnal hemoglobinemia, pure red cell aplasia, chronic neutropenias, amegakaryocytic thrombocytopenia, antiphospholipid syndromes, autoimmune thrombocytopenia, autoimmune hemolytic syndromes, antiphospholipid syndromes, autoimmune gastritis, achlorhydria, Autoimmune Addison's Disease, Autoimmune Diabetes, Autoimmune Hemolytic Anemia, Autoimmune Hepatitis, Autoimmune hypophysitis, Autoimmune orchiditis, autoimmune ovarian failure, Behcet's Disease, Bullous Pemphigoid, Cardiomyopathy, Celiac Sprue-Dermatitis, Cicatrical pemphigoid, Chronic Fatigue Immune Dysfunction Syndrome (CFIDS), Chronic Inflammatory Demyelinating Polyneuropathy, Interstitial cystitis, Churg-Strauss Syndrome, Cicatricial Pemphigoid, CREST Syndrome, Cold Agglutinin Disease, Crohn's Disease, Dermatitis herpetiformis, Discoid Lupus, Drug-induced autoimmune disorders, Endometriosis, Epidermolysis bullosa acquisita, Essential Mixed Cryoglobulinemia, Fibromyalgia-Fibromyositis, Glomerulonephritis, Good Pasture Syndrome, Graft Versus Host Disease, Graves' Disease, Guillain-Barré, Hashimoto's Thyroiditis, Idiopathic Inflammatory Myopathies, Idiopathic Pulmonary Fibrosis, Idiopathic Thrombocytopenia Purpura (ITP), IgA Nephropathy, Insulin Dependent Diabetes, Juvenile Arthritis, Lichen

Planus, Systemic Lupus Erythmatosus , Ménière's Disease, Metal-induced autoimmunity disorders, Mixed Connective Tissue Disease, Multiple Sclerosis, Myasthenia Gravis, Myocarditis, Myositis, Optic neuritis, Painless/postpartum thyroiditis, Peripheral nerve vasculitis, Pemphigus Foliaceus, Pemphigus Vulgaris, Pernicious  
5 Anemia, Polyarteritis Nodosa, Polychondritis, Polyglandular Syndromes, Polymyalgia Rheumatica, Polymyositis and Dermatomyositis, Postinfectious autoimmune disorders, Primary Agammaglobulinemia, Primary Biliary Cirrhosis, Psoriasis, Psoriatic Arthritis, Reactive Arthritis, Raynaud's Phenomenon, Reiter's Syndrome, Rheumatic Fever, Rheumatoid Arthritis, Sarcoidosis, Scleritis, Scleroderma, Sjögren's Syndrome, Stiff-  
10 Man Syndrome, Takayasu Arteritis, Temporal Arteritis/Giant-cell Arteritis, Ulcerative Colitis, Uveitis, Vasculitis, Vitiligo, and Wegener's Granulomatosis.

22. The method according to claim 20, further comprising the step of administering to said subject at least one other agent useful for the treatment of an  
15 autoimmune disorder.

23. The method according to claim 22, wherein the subject has rheumatoid arthritis and wherein said other agent is selected from the group consisting of COX-2 inhibitors, COX inhibitors, immunosuppressives, steroids, PDE IV inhibitors, anti-TNF- $\alpha$   
20 compounds, MMP inhibitors, glucocorticoids, chemokine inhibitors, CB2-selective inhibitors and combinations thereof.

24. A method of treating or preventing rheumatoid arthritis in a subject, comprising the step of administering to a subject in need of such treatment an effective  
25 amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

25. A composition comprising: (a) at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof and (b) at least one other agent

useful for the treatment of an autoimmune disorder.

26. A therapeutic combination comprising: (a) a first amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof; and  
5 (b) a second amount of at least one other agent useful for the treatment of an autoimmune disorder, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of an autoimmune disorder in a subject.